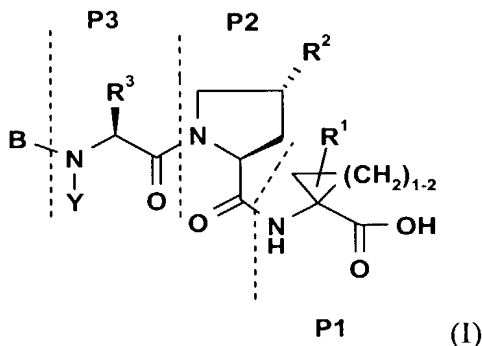


WHAT IS CLAIMED IS:

1. A racemate, diastereoisomer or optical isomer of a compound of formula (I):



wherein **B** is H, a C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl; Het or (lower alkyl)-Het, all of which optionally substituted with C₁₋₆ alkyl; C₁₋₆ alkoxy; C₁₋₆ alkanoyl; hydroxy; hydroxyalkyl; halo; haloalkyl; nitro; cyano; cyanoalkyl; amino optionally substituted with C₁₋₆ alkyl; amido; or (lower alkyl)amide; or **B** is an acyl derivative of formula **R**₄-C(O)-; a carboxyl derivative formula **R**₄-O-C(O)-; an amide derivative of formula **R**₄-N(**R**₅)-C(O)-; a thioamide derivative of formula **R**₄-N(**R**₅)-C(S)-; or a sulfonyl derivative of formula **R**₄-SO₂ wherein

- R**₄ is (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy, amino optionally mono- or di-substituted with C₁₋₆ alkyl, amido, or (lower alkyl) amide;
- (ii) C₃₋₇ cycloalkyl, C₃₋₇ cycloalkoxy, or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with hydroxy, carboxyl, (C₁₋₆ alkoxy)carbonyl, amino optionally mono- or di-substituted with C₁₋₆ alkyl, amido, or (lower alkyl) amide;
- (iii) amino optionally mono- or di-substituted with C₁₋₆ alkyl; amido; or (lower alkyl)amide;
- (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C₁₋₆ alkyl; or
- (v) Het or (lower alkyl)-Het, both optionally substituted with C₁₋₆ alkyl, hydroxy, amido, (lower alkyl) amide, or amino optionally mono- or di-substituted with C₁₋₆ alkyl;

R₅ is H or C₁₋₆ alkyl;

with the proviso that when **B** is a carboxyl derivative, an amide derivative or a thioamide derivative, **R₄** is not a cycloalkoxy;

Y is H or C₁₋₆ alkyl;

R³ is C₁₋₈ alkyl, C₃₋₇ cycloalkyl, or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with hydroxy, C₁₋₆ alkoxy, C₁₋₆ thioalkyl, amido, (lower alkyl)amido, C₆ or C₁₀ aryl, or C₇₋₁₆ aralkyl;

R² is CH₂-**R₂₀**, NH-**R₂₀**, O-**R₂₀** or S-**R₂₀**, wherein **R₂₀** is a saturated or unsaturated C₃₋₇ cycloalkyl or C₄₋₁₀ (alkylcycloalkyl), all of which being optionally mono-, di- or tri-substituted with **R₂₁**,

or **R₂₀** is a C₆ or C₁₀ aryl or C₇₋₁₄ aralkyl, all optionally mono-, di- or tri-substituted with **R₂₁**,

or **R₂₀** is Het or (lower alkyl)-Het, both optionally mono-, di- or tri-substituted with **R₂₁**,

wherein each **R₂₁** is independently C₁₋₆ alkyl; C₁₋₆ alkoxy; lower thioalkyl; sulfonyl; NO₂; OH; SH; halo; haloalkyl; amino optionally mono- or di-substituted with C₁₋₆ alkyl, C₆ or C₁₀ aryl, C₇₋₁₄ aralkyl, Het or (lower alkyl)-Het; amido optionally mono-substituted with C₁₋₆ alkyl, C₆ or C₁₀ aryl, C₇₋₁₄ aralkyl, Het or (lower alkyl)-Het; carboxyl; carboxy(lower alkyl); C₆ or C₁₀ aryl, C₇₋₁₄ aralkyl or Het, said aryl, aralkyl or Het being optionally substituted with **R₂₂**;

wherein **R₂₂** is C₁₋₆ alkyl; C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; amino optionally mono- or di-substituted with C₁₋₆ alkyl; sulfonyl; (lower alkyl)sulfonyl; NO₂; OH; SH; halo; haloalkyl; carboxyl; amide; (lower alkyl)amide; or Het optionally substituted with C₁₋₆ alkyl;

R¹ is H; C₁₋₆ alkyl, C₃₋₇ cycloalkyl, C₂₋₆ alkenyl, or C₂₋₆ alkynyl, all optionally substituted with halogen;

or a pharmaceutically acceptable salt or ester thereof;

wherein "Het" is defined as a five-, six-, or seven-membered saturated or unsaturated, aromatic or non-aromatic, heterocycle containing from one to four heteroatoms selected from nitrogen, oxygen and sulfur, wherein said heterocycle is optionally fused to a benzene ring.

2. A compound of formula I according to claim 1, wherein
B is a C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amido, or amino optionally substituted with C₁₋₆ alkyl; or
B is Het or (lower alkyl)-Het, all optionally substituted with C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amido, or amino optionally substituted with C₁₋₆ alkyl.
3. A compound of formula I according to claim 1, wherein **B** is **R₄-SO₂** wherein **R₄** is C₁₋₆ alkyl; amido; (lower alkyl)amide; C₆ or C₁₀ aryl, C₇₋₁₄ aralkyl or Het, all optionally substituted with C₁₋₆ alkyl.
4. A compound of formula I according to claim 1, wherein **B** is an acyl derivative of formula **R₄-C(O)-** wherein **R₄** is
 - (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, hydroxy or C₁₋₆ alkoxy, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C₁₋₆ alkyl;
 - (ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, both optionally substituted with hydroxy, carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C₁₋₆ alkyl;
 - (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally substituted with C₁₋₆ alkyl;
 - (v) Het or (lower alkyl)-Het, both optionally substituted with C₁₋₆ alkyl, hydroxy, amino optionally substituted with C₁₋₆ alkyl, amido, (lower alkyl)amide, or amino optionally substituted with C₁₋₆ alkyl.
5. A compound of formula I according to claim 1, wherein **B** is a carboxyl derivative of formula **R₄-O-C(O)-**, wherein **R₄** is
 - (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy, amino optionally mono- or di-substituted with C₁₋₆ alkyl, amido or (lower alkyl)amide;
 - (ii) C₃₋₇ cycloalkyl, C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amino optionally mono- or di-substituted

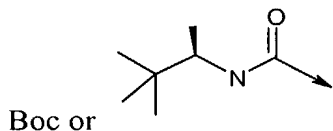
- with C₁₋₆ alkyl, amido or (lower alkyl)amide;
- (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl optionally substituted with C₁₋₆ alkyl, hydroxy, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C₁₋₆ alkyl; or
- (v) Het or (lower alkyl)-Het, both optionally substituted with C₁₋₆ alkyl, hydroxy, amino optionally mono- or di-substituted with C₁₋₆ alkyl, amido or (lower alkyl)amido.
6. A compound of formula I according to claim 1, wherein **B** is an amide derivative of formula **R₄-N(R₅)-C(O)-** wherein **R₄** is
- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C₁₋₆ alkyl;
 - (ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C₁₋₆ alkyl;
 - (iii) amino optionally mono- or di-substituted with C₁₋₃ alkyl;
 - (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally substituted with C₁₋₆ alkyl; or
 - (v) Het or (lower alkyl)-Het, both optionally substituted with C₁₋₆ alkyl, hydroxy, amino optionally substituted with C₁₋₆ alkyl, amido or (lower alkyl)amide; and
- R₅** is H or methyl.
7. A compound of formula I according to claim 1, wherein **B** is a thioamide derivative of formula **R₄-NH-C(S)-**; wherein **R₄** is
- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl or C₁₋₆ alkoxy;
 - (ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amino or amido.
8. A compound of formula I according to claim 2, wherein **B** is a C₆ or C₁₀ aryl optionally substituted with C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amide,

- or amino optionally mono- or di-substituted with C₁₋₆ alkyl.
9. A compound of formula I according to claim 2, wherein **B** is Het optionally substituted with C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkanoyl, hydroxy, halo, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C₁₋₆ alkyl.
10. A compound of formula I according to claim 4, wherein **B** is an acyl derivative of formula **R₄-C(O)-** wherein **R₄** is
- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, hydroxy or C₁₋₆ alkoxy; or
 - (ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, both optionally substituted with hydroxy, carboxyl, (C₁₋₆ alkoxy)carbonyl, or
 - (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, or (v) Het optionally substituted with C₁₋₆ alkyl, hydroxy, amido or amino.
11. A compound of formula I according to claim 5, wherein **B** is a carboxyl derivative of formula **R₄-O-C(O)-**, wherein **R₄** is
- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy or amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;
 - (ii) C₃₋₇ cycloalkyl, C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl, or
 - (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, amino optionally substituted with C₁₋₆ alkyl; or
 - (v) Het or (lower alkyl)-Het, both optionally substituted with C₁₋₆ alkyl, hydroxy, amido, or amino optionally mono-substituted with C₁₋₆ alkyl.
12. A compound of formula I according to claim 6, wherein **B** is an amide derivative of formula **R₄-N(R₅)-C(O)-** wherein **R₄** is
- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;
 - (ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;

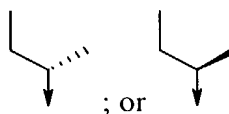
(iii) amino optionally mono- or di-substituted with C₁₋₃ alkyl, or
 (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, amino or amido optionally substituted with C₁₋₆ alkyl; or
 (v) Het optionally substituted with C₁₋₆ alkyl, hydroxy, amino or amido,
 and R₅ is H.

13. A compound of formula I according to claim 7, wherein **B** is a thioamide derivative of formula **R**₄-NH-C(S)-; wherein **R**₄ is (i) C₁₋₁₀ alkyl; or (ii) C₃₋₇ cycloalkyl.
14. A compound of formula I according to claim 12, wherein **B** is an amide derivative of formula **R**₄-NH-C(O)- wherein **R**₄ is
- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;
 - (ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;
 - (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl optionally substituted with C₁₋₆ alkyl, hydroxy, amino or amido.

15. A compound of formula I according to claim 1, wherein **B** is



16. A compound of formula I according to claim 1, wherein **Y** is H or methyl.
17. A compound of formula I according to claim 16, wherein **Y** is H.
18. A compound of formula I according to claim 1, wherein **R**³ is C₁₋₈ alkyl, C₃₋₇ cycloalkyl, or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with hydroxy, C₁₋₆ alkoxy, C₁₋₆ thioalkyl, acetamido, C₆ or C₁₀ aryl, or C₇₋₁₆ aralkyl,.
19. A compound of formula I according to claim 18, wherein **R**³ is the side chain of Tbg, Ile, Val, Chg or:



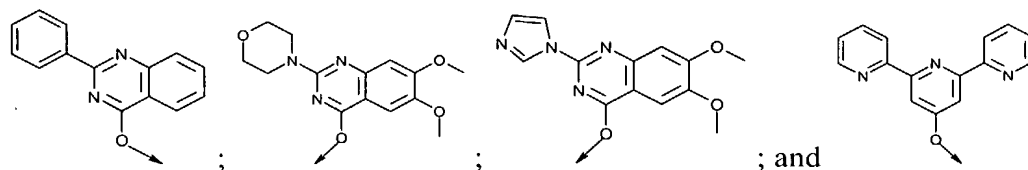
20. A compound of formula I according to claim 19, wherein **R**³ is the side chain of

21. A compound of formula I according to claim 1, wherein **R**² is S-**R**₂₀ or O-**R**₂₀ wherein **R**₂₀ is a C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl, Het or -CH₂-Het, all optionally mono-, di- or tri-substituted with **R**₂₁, wherein

R₂₂ is C₁₋₆ alkyl; C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; amino; mono- or di-(lower alkyl)amino; (lower alkyl)amide; sulfonylalkyl; NO₂; OH; halo; trifluoromethyl; carboxyl or Het.

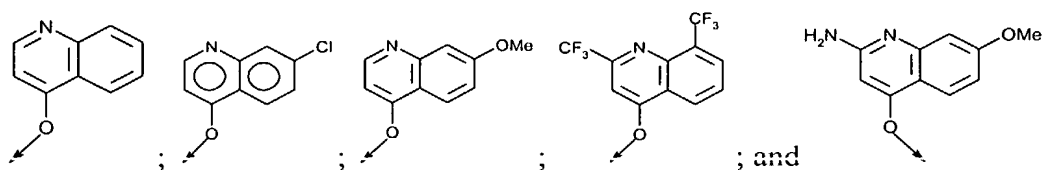
23. A compound of formula I according to claim 22, wherein **R**₂₂ is C₁₋₆ alkyl; C₁₋₆ alkoxy; halo; amino optionally mono- or di-substituted with lower alkyl; amido; (lower alkyl)amide; or Het.

25. A compound of formula I according to claim 21, wherein R^2 is selected from the group consisting of:

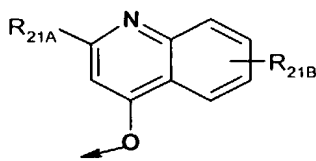


- 133 -

27. A compound of formula I according to claim 26, wherein R^2 is 1-naphthylmethoxy; or quinolinoxy unsubstituted, mono- or di-substituted with R_{21} as defined in claim 26.
28. A compound of formula I according to claim 27, wherein R^2 is selected from the group consisting of:



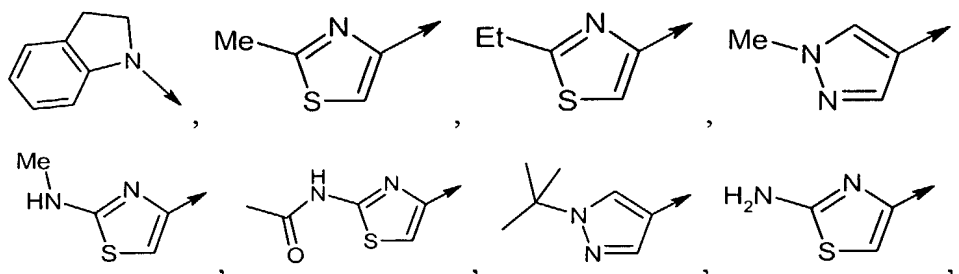
29. A compound of formula I according to claim 26, wherein R^2 is :

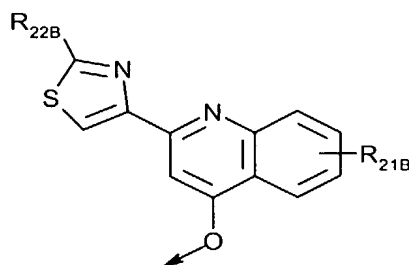
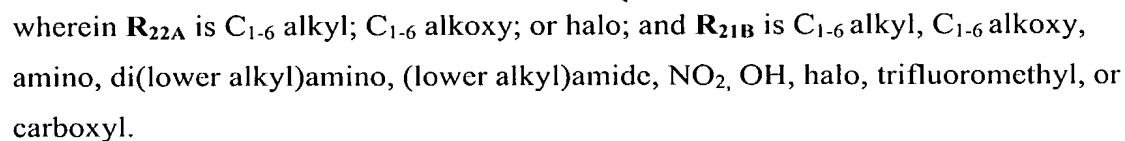
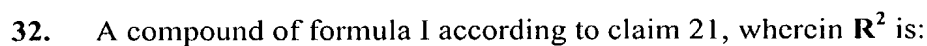


wherein R_{21A} is C_{1-6} alkyl; C_{1-6} alkoxy; lower thioalkyl; halo; amino optionally mono-substituted with C_{1-6} alkyl; or C_6 , C_{10} aryl, C_{7-16} aralkyl, or Het, said aryl, aralkyl or Het optionally substituted with R_{22} wherein R_{22} is C_{1-6} alkyl, C_{1-6} alkoxy, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C_{1-6} alkyl, or Het; and

R_{21B} is C_{1-6} alkyl, C_{1-6} alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, NO_2 , OH, halo, trifluoromethyl, or carboxyl.

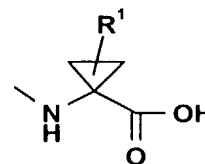
30. A compound of formula I according to claim 29, wherein R_{21A} is C_6 , C_{10} aryl or Het, all optionally substituted with R_{22} as defined in claim 29.
31. A compound of formula I according to claim 30, wherein R_{21A} is selected from the group consisting of:





wherein **R_{22B}** is C₁₋₆ alkyl, amino optionally mono-substituted with C₁₋₆ alkyl, amido, or (lower alkyl)amide; ; and **R_{21B}** is C₁₋₆ alkyl, C₁₋₆ alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, NO₂, OH, halo, trifluoromethyl, or carboxyl.

- 135 -

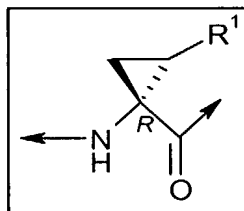


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- 136 -



43. A compound of formula I according to claim 42, wherein R^1 is ethyl, hence the asymmetric carbon atoms at positions 1 and 2 have the R,R configuration.
44. A compound of formula I according to claim 42, wherein R^1 is vinyl, hence the asymmetric carbon atoms at positions 1 and 2 have the R,S configuration.
45. A compound of formula I according to claim 1, wherein
- B** is a C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amido, or amino optionally substituted with C_{1-6} alkyl; or
- Het or (lower alkyl)-Het, all optionally substituted with C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amido, or amino optionally substituted with C_{1-6} alkyl, or
- B** is R_4-SO_2 wherein R_4 is preferably amido; (lower alkyl)amide; C_6 or C_{10} aryl, C_{7-14} aralkyl or Het, all optionally substituted with C_{1-6} alkyl, or
- B** is an acyl derivative of formula $R_4-C(O)-$ wherein R_4 is
- (i) C_{1-10} alkyl optionally substituted with carboxyl, hydroxy or C_{1-6} alkoxy, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C_{1-6} alkyl;
 - (ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, both optionally substituted with hydroxy, carboxyl, (C_{1-6} alkoxy)carbonyl, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C_{1-6} alkyl;
 - (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally substituted with C_{1-6} alkyl;
 - (v) Het or (lower alkyl)-Het, both optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally substituted with C_{1-6} alkyl, amido, (lower alkyl)amide, or amino optionally substituted with C_{1-6} alkyl, or

B is a carboxyl derivative of formula $\text{R}_4\text{-O-C(O)-}$, wherein R_4 is

- (i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy, amino optionally mono- or di-substituted with C_{1-6} alkyl, amido or (lower alkyl)amide;
- (ii) C_{3-7} cycloalkyl, C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amino optionally mono- or di-substituted with C_{1-6} alkyl, amido or (lower alkyl)amide;
- (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl optionally substituted with C_{1-6} alkyl, hydroxy, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C_{1-6} alkyl; or
- (v) Het or (lower alkyl)-Het, both optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally mono- or di-substituted with C_{1-6} alkyl, amido or (lower alkyl)amido, or

B is an amide derivative of formula $\text{R}_4\text{-N(R}_5\text{)-C(O)-}$ wherein R_4 is

- (i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C_{1-6} alkyl;
- (ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C_{1-6} alkyl;
- (iii) amino optionally mono- or di-substituted with C_{1-3} alkyl;
- (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally substituted with C_{1-6} alkyl; or
- (v) Het or (lower alkyl)-Het, both optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally substituted with C_{1-6} alkyl, amido or (lower alkyl)amide; and

R_5 is H or methyl, or

B is thioamide derivative of formula $\text{R}_4\text{-NH-C(S)-}$; wherein R_4 is

- (i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl or C_{1-6} alkoxy;
- (ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, all optionally substituted with

carboxyl, (C₁₋₆ alkoxy)carbonyl, amino or amido;

Y is H or methyl;

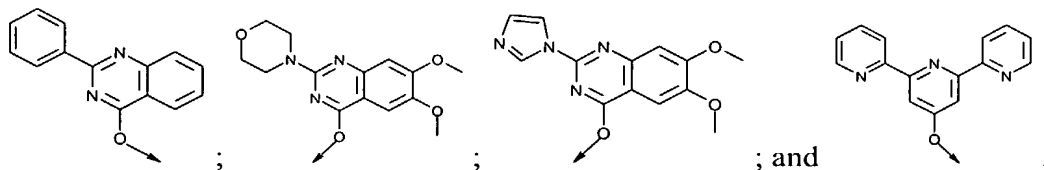
R³ is C₁₋₈ alkyl, C₃₋₇ cycloalkyl, or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with hydroxy, C₁₋₆ alkoxy, C₁₋₆ thioalkyl, acetamido, C₆ or C₁₀ aryl, or C₇₋₁₆ aralkyl;

R² is S-**R₂₀** or O-**R₂₀** wherein **R₂₀** is a C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl, Het or -CH₂-Het, all optionally mono-, di- or tri-substituted with **R₂₁**, wherein

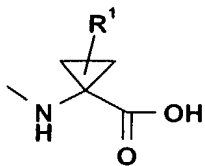
R₂₁ is C₁₋₆ alkyl; C₁₋₆ alkoxy; lower thioalkyl; amino or amido optionally mono- or di-substituted with C₁₋₆ alkyl, C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl, Het or (lower alkyl)-Het; NO₂; OH; halo; trifluoromethyl; carboxyl; C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl, or Het, said aryl, aralkyl or Het being optionally substituted with **R₂₂**, wherein

R₂₂ is C₁₋₆ alkyl; C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; amino; mono- or di-(lower alkyl)amino; (lower alkyl)amide; sulfonylalkyl; NO₂; OH; halo; trifluoromethyl; carboxyl or Het; or

R² is selected from the group consisting of:

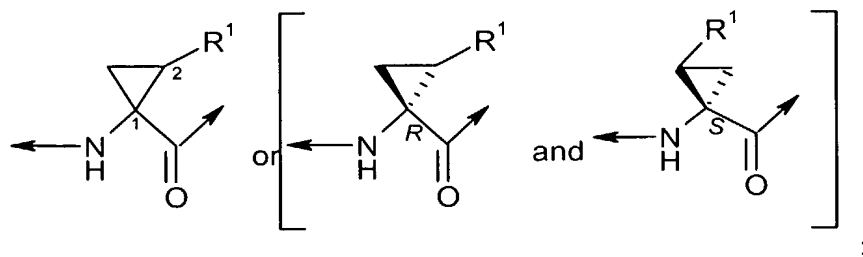


or **R²** is 1-naphthylmethoxy; 2-naphthylmethoxy; benzyloxy, 1-naphthylloxy; 2-naphthylloxy; or quinolinoxy unsubstituted, mono- or di-substituted with **R₂₁** as defined above; and



P1 is:

wherein **R¹** is H, C₁₋₃ alkyl, C₃₋₅ cycloalkyl, or C₂₋₄ alkenyl optionally substituted with halo, and said **R¹** at carbon 2 is orientated *syn* to the carbonyl at position 1, represented by the radical:



or a pharmaceutically acceptable salt or ester thereof.

46. A compound of formula I according to claim 45, wherein **B** is a C₆ or C₁₀ aryl optionally substituted with C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C₁₋₆ alkyl; or **B** is Het optionally substituted with C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkanoyl, hydroxy, halo, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C₁₋₆ alkyl; or **B** is **R**₄-SO₂ wherein **R**₄ is C₆ or C₁₀ aryl, a C₇₋₁₄ aralkyl or Het all optionally substituted with C₁₋₆ alkyl; amido, (lower alkyl)amide; **B** is an acyl derivative of formula **R**₄-C(O)- wherein **R**₄ is
- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, hydroxy or C₁₋₆ alkoxy; or
 - (ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, both optionally substituted with hydroxy, carboxyl, (C₁₋₆ alkoxy)carbonyl; or
 - (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy; or
 - (v) Het optionally substituted with C₁₋₆ alkyl, hydroxy, amido or amino;
- or **B** is a carboxyl derivative of formula **R**₄-O-C(O)-, wherein **R**₄ is
- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy or amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;
 - (ii) C₃₋₇ cycloalkyl, C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl; or
 - (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, amino optionally substituted with C₁₋₆ alkyl; or
 - (v) Het or (lower alkyl)-Het, both optionally substituted with C₁₋₆ alkyl,

hydroxy, amido, or amino optionally mono-substituted with C_{1-6} alkyl;
 or **B** is an amide derivative of formula $R_4-N(R_5)-C(O)-$ wherein R_4 is

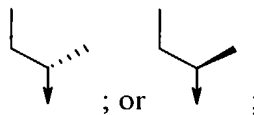
- (i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C_{1-6} alkyl;
- (ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C_{1-6} alkyl; and R_5 is H or methyl; or
- R_4 is (iii) amino optionally mono- or di-substituted with C_{1-3} alkyl; or
- (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy, amino or amido optionally substituted with C_{1-6} alkyl; or
- (v) Het optionally substituted with C_{1-6} alkyl, hydroxy, amino or amido; or

B is a thioamide derivative of formula $R_4-NH-C(S)-$; wherein R_4 is:

(i) C_{1-10} alkyl; or (ii) C_{3-7} cycloalkyl; or

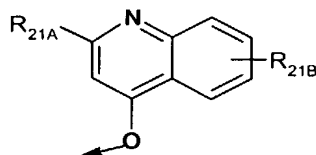
Y is H;

R^3 is the side chain of Tbg, Ile, Val, Chg or:



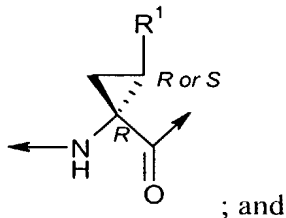
R_2 is 1-naphthylmethoxy; or quinolinoxyl unsubstituted, mono- or di-substituted with R_{21} as defined above, or

R_2 is :



wherein R_{21A} is C_{1-6} alkyl; C_{1-6} alkoxy; C_6 , C_{10} aryl or Het; lower thioalkyl; halo; amino optionally mono-substituted with C_{1-6} alkyl; or C_6 , C_{10} aryl, C_{7-16} aralkyl or Het, optionally substituted with R_{22} wherein R_{22} is C_{1-6} alkyl, C_{1-6} alkoxy, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C_{1-6} alkyl, or Het; and R_{21B} is C_{1-6} alkyl, C_{1-6} alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, NO_2 , OH, halo, trifluoromethyl, or carboxyl;

P1 is:



R¹ is ethyl, vinyl, cyclopropyl, 1 or 2-bromoethyl or 1 or 2-bromovinyl.

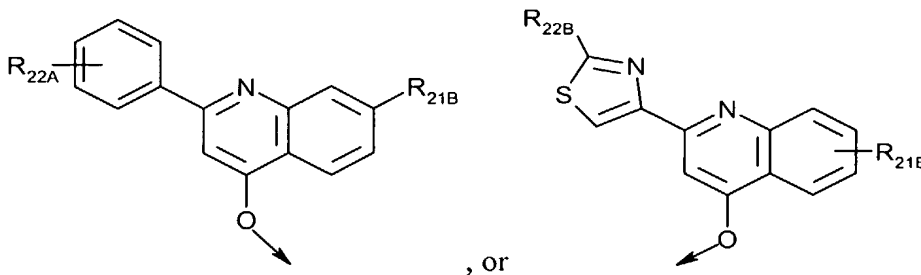
47. A compound of formula I according to claim 46, wherein

B is an amide derivative of formula **R₄-NH-C(O)-** wherein **R₄** is

- i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;
- (ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;
- (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl optionally substituted with C₁₋₆ alkyl, hydroxy, amino or amido;

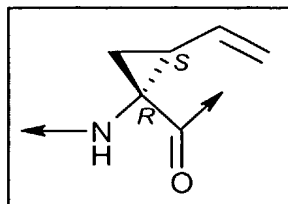
R³ is the side chain of Tbg, Chg or Val;

R² is:

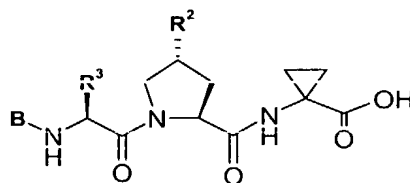


wherein **R_{22A}** is C₁₋₆ alkyl; C₁₋₆ alkoxy; or halo; **R_{22B}** is C₁₋₆ alkyl, amino optionally mono-substituted with C₁₋₆ alkyl, amido, or (lower alkyl)amide; and **R_{21B}** is C₁₋₆ alkyl, C₁₋₆ alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, NO₂, OH, halo, trifluoromethyl, or carboxyl;

and **P1** is:

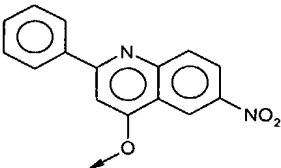
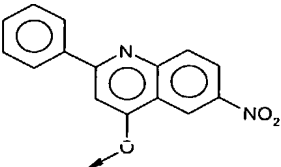
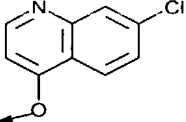
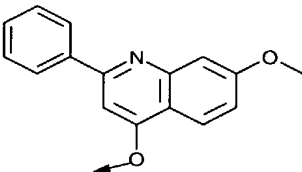


48. A compound according to claim 45 represented by the formula:

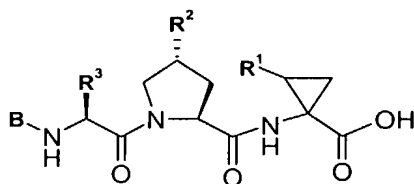


wherein **B**, **R₃**, **R₂** are as defined below:

Tab 1 Cpd#	B	R ³	R ²
101	Boc	cHex	-O-CH ₂ -1-naphthyl ;
102		cHex	-O-CH ₂ -1-naphthyl ;
103		cHex	-O-CH ₂ -1-naphthyl ;
104		cHex	-O-CH ₂ -1-naphthyl ;
105		cHex	-O-CH ₂ -1-naphthyl ;
106	Boc	cHex	;
107		cHex	-O-CH ₂ -1-naphthyl ;

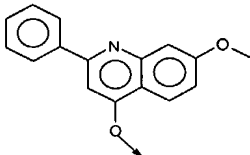
Tab 1 Cpd#	B	R ³	R ²
108	Boc	iPr	
109	acetyl	cHex	
110	Boc	<i>i</i> -Pr	
and 111	Boc	<i>t</i> -Bu	

- 49.** Compound # **111** according to claim 48.
- 50.** A compound according to claim 45 represented by the formula:



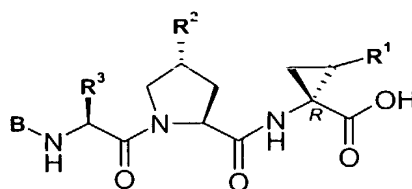
wherein \mathbf{B} , \mathbf{R}^3 , \mathbf{R}^2 , \mathbf{R}^1 are as defined below:

Table 2 Cpd #	B	R ³	R ²	R ¹ anti to carboxy
201	Boc	cyclohexyl	-O-CH ₂ -1-naphthyl	ethyl (one isomer)
202	Boc	cyclohexyl	-O-CH ₂ -1-naphthyl	ethyl (other isomer)

Table 2 Cpd # and 203	B	R ³	R ²	R ¹ anti to carboxy vinyl 1 <i>R</i> , 2 <i>R</i>
	Boc	<i>t</i> -Bu		

51. Compound #203 according to claim 49.

52. A compound according to claim 45 represented by the formula:



wherein B, R³, R² and R¹ are as defined below:

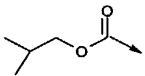
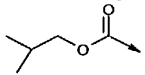
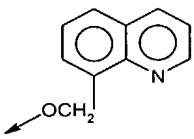
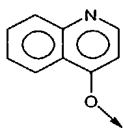
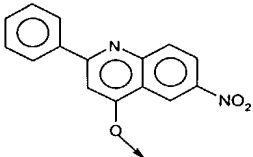
Table 3 Cpd #	B	R ³	R ²	R ¹ <i>syn</i> to carboxyl
301	Boc	cHex	-O-CH ₂ -1-naphthyl	ethyl ;
302		iPr	-O-CH ₂ -1-naphthyl	ethyl ;
303		cHex	-O-CH ₂ -1-naphthyl	ethyl ;
304	Boc	cHex		ethyl ;
305	Boc	cHex	-O-CH ₂ -1-naphthyl	vinyl ;
306	Boc	cHex		vinyl ;
307	Boc	cHex		vinyl ;

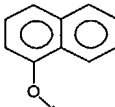
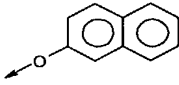
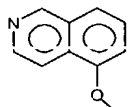
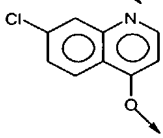
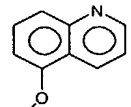
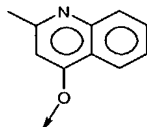
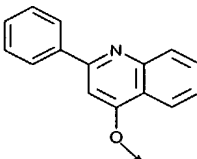
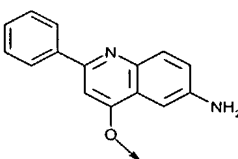
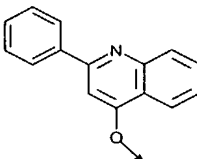
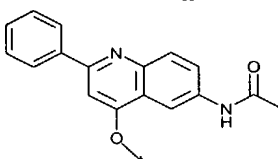
Table 3 Cpd #	B	R ³	R ²	R ¹ <i>syn</i> to carboxyl vinyl	
308	Boc	cHex		vinyl	;
309	Boc	cHex		vinyl	;
310	Boc	cHex		vinyl	;
311	Boc	cHex		vinyl	;
312	Boc	cHex		vinyl	;
313	Boc	cHex		vinyl	;
314	Boc	cHex		vinyl	;
315	Boc	cHex		vinyl	;
316	Acetyl	cHex		vinyl	;
317	Boc	cHex		vinyl	;

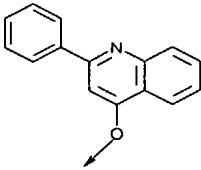
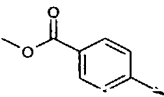
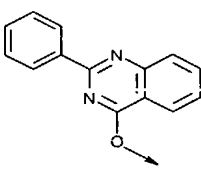
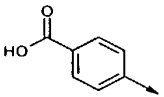
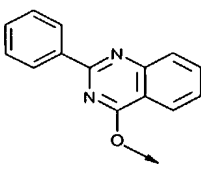
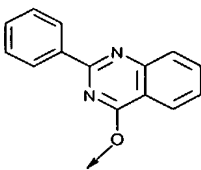
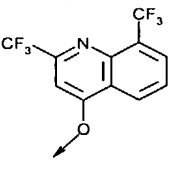
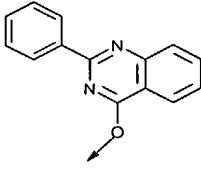

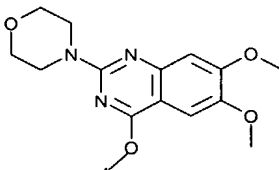
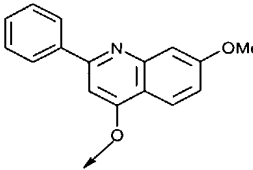

Table 3 Cpd #	B	R ³	R ²	R ¹ syn to carboxyl vinyl	
318	CF ₃ -C(O)-	<i>i</i> -Pr		vinyl	;
319		cHex		vinyl	;
320		cHex		vinyl	;
321	Boc	<i>t</i> -Bu		vinyl	;
322	Boc	<i>t</i> -Bu		vinyl	;
323	Boc	<i>t</i> -Bu			;
324	Boc	<i>t</i> -Bu		vinyl	;
325	Boc	<i>t</i> -Bu			;

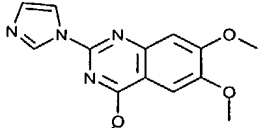
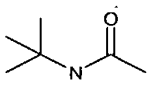
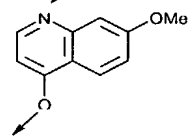
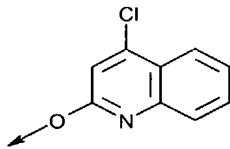
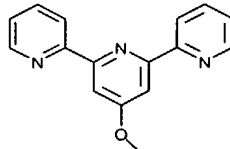
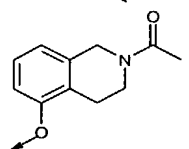
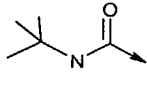
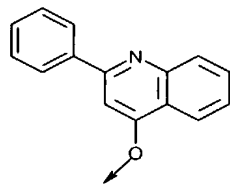
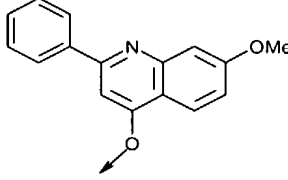
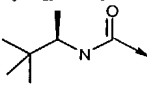
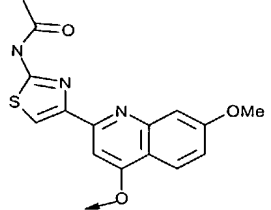
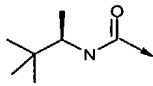
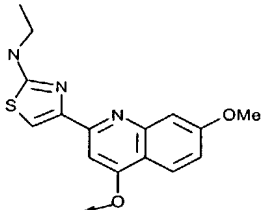
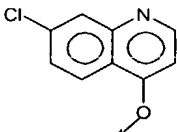
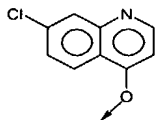
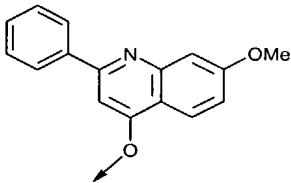
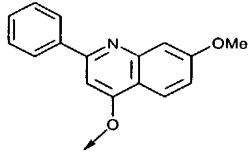
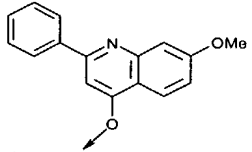
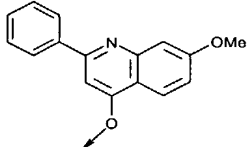
Table 3 Cpd #	B	R ³	R ²	R ¹ syn to carboxyl vinyl	
326	Boc	<i>t</i> -Bu		vinyl	;
327		<i>t</i> -Bu		vinyl	;
328	Boc	<i>t</i> -Bu		vinyl	;
329	Boc	<i>t</i> -Bu		vinyl	;
330	Boc	<i>t</i> -Bu		vinyl	;
331		<i>t</i> -Bu		vinyl	;
332	Boc	<i>t</i> -Bu		ethyl	;
333		<i>t</i> -Bu		vinyl	;

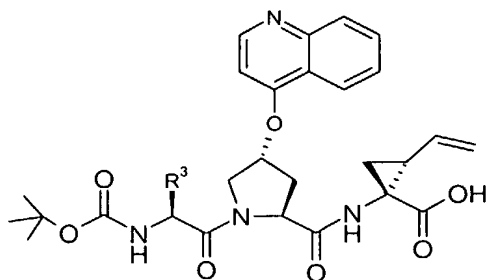
Table 3 Cpd #	B	R ³	R ²	R ¹ <i>syn</i> to carboxyl vinyl
and 334		<i>t-Bu</i>		.

53. A compound according to claim 52, selected from the group consisting of compound #: 307, 314, 317, 319, 321, 324, 325, 326, 327, 329, 331, 332, 333, and 334.

-
- The chemical structure shows a bicyclic system consisting of a cyclopropane ring fused to a five-membered ring. The five-membered ring contains a nitrogen atom (N) and a carbonyl group (C=O). The nitrogen atom is substituted with a group R³ and a group B. The carbonyl group is part of a chain that includes a chiral center (C) bonded to a group R² and a group R¹. The cyclopropane ring is also substituted with a group R¹. The structure is labeled with R¹, R², R³, and B.

Table 4 Cpd #	B	R ³	R ²	R ¹
401	Boc	<i>i</i> -Pr		H
402	Boc	<i>t</i> -Bu		H
403	Boc	<i>t</i> -Bu		H
404	Boc	<i>t</i> -Bu		3-(=CH ₂)
405	Boc	<i>t</i> -Bu		2-vinyl
and 406	Boc	<i>t</i> -Bu		2-Et

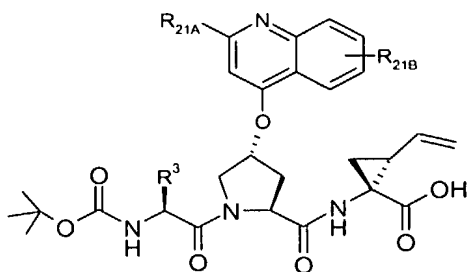
- 150 -



wherein R^3 is as defined below:

Table 5 Cpü #	R^3	Table 5 Cpü #	R^3
501	<i>t</i> -Bu	507	
502	H	508	
503		509	
504		510	
505		and 511	
506			

57. A compound according to claim 56, selected from the group consisting of compound #: 501, 509, and 510.
58. A compound according to claim 46 represented by the formula:



wherein R^3 , R_{21A} and R_{21B} are as defined below:

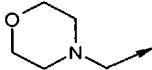
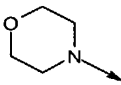

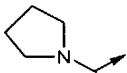
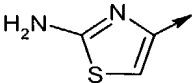
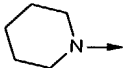
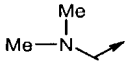
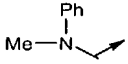
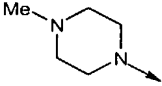
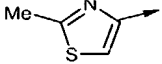
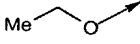
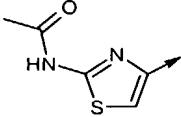
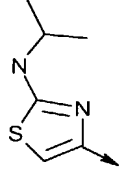
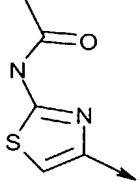
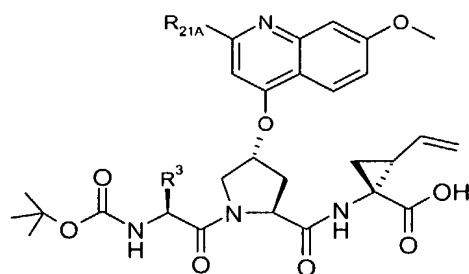
Table 6 Cpd #	R ³	R _{21A}	R _{21B}	
601	<i>i</i> -Pr	Ph	7-OMe	;
602	<i>t</i> -Bu	Ph	8-OMe, 7-OMe	;
603	<i>i</i> -Pr	Ph	7-ethyl	;
604	<i>t</i> -Bu	--	7-OMe	;
605	<i>t</i> -Bu	Ph	7-O- <i>i</i> Pr	;
606	<i>t</i> -Bu	--	7-Cl	;
607	<i>i</i> Pr	--	7-Cl	;
608	CH ₂ - <i>i</i> Pr	--	7-Cl	;
609	<i>t</i> -Bu		--	;
610	<i>t</i> -Bu	Cl	--	;
611	<i>t</i> -Bu	Ph	7- N(Me) ₂	;
612	<i>t</i> -Bu		--	;
613	<i>t</i> -Bu		--	;
614	<i>t</i> -Bu		--	;
615	<i>t</i> -Bu	--	7- N(Me) ₂	;
616	<i>t</i> -Bu		--	;

Table 6 Cpd #	R ³	R _{21A}	R _{21B}
617	<i>t</i> -Bu		-- ;
618	<i>t</i> -Bu		-- ;
619	<i>t</i> -Bu		-- ;
620	<i>t</i> -Bu		-- ;
621	<i>t</i> -Bu		-- ;
622	<i>t</i> -Bu		-- ;
623	<i>t</i> -Bu	MeO-	-- ;
624	<i>t</i> -Bu	(Me) ₂ N-	-- ;
625	<i>t</i> -Bu	Ph	7-S(Me) ;
626	<i>t</i> -Bu	Ph	7-Br ;
627	<i>t</i> -Bu	Ph	7-F ;
628	<i>t</i> -Bu		7- N(Me) ₂ ;
629	<i>t</i> -Bu		7- N(Me) ₂ ;
and 630	<i>t</i> -Bu		7-N(Et) ₂ .

59. A compound according to claim 58, selected from the group consisting of compound #: 601, 602, 603, 604, 605, 606, 607, 610, 611, 612, 615, 616, 617, 620, 621, 622, 625, 626, 627, 628, 629, and 630.
60. A compound according to claim 46 represented by the formula:



wherein R^3 and R_{21A} are as defined below:

Table 7 Cpd #	R^3	R_{21A}	
701	<i>t</i> -Bu		;
702	<i>t</i> -Bu		;
703	<i>t</i> -Bu		;
704	<i>t</i> -Bu		;
705	<i>t</i> -Bu		;
706	<i>t</i> -Bu		;
707	<i>t</i> -Bu		;
708	<i>t</i> -Bu	Ph-N(Me)-	;
709	<i>t</i> -Bu		;
710	<i>t</i> -Bu	HOOC-	;
711	<i>t</i> -Bu		;
712	<i>t</i> -Bu	(Me) ₂ N-	;
713	<i>t</i> -Bu		;
714	<i>t</i> -Bu		;
715	<i>t</i> -Bu		;

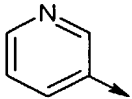
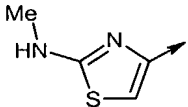
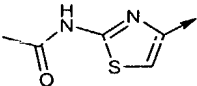
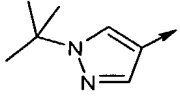
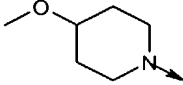
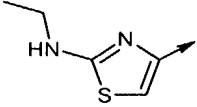
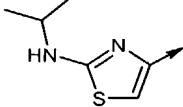
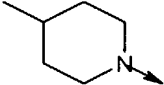
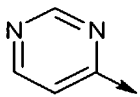
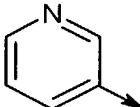
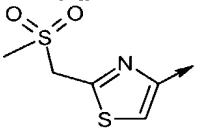
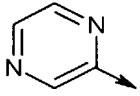
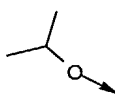
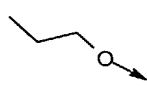
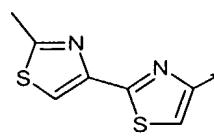
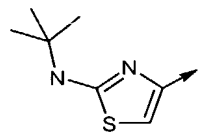
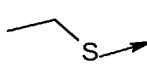
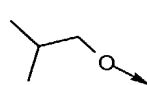
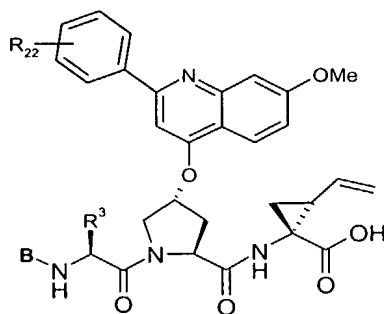
Table 7 Cpd #	R ³	R _{21A}	
716	<i>t</i> -Bu		;
717	<i>t</i> -Bu		;
718	<i>t</i> -Bu	NH ₂	;
719	<i>t</i> -Bu		;
720	<i>t</i> -Bu		;
721	<i>t</i> -Bu		;
722	<i>t</i> -Bu		;
723	<i>t</i> -Bu		;
724	<i>t</i> -Bu		;
725	<i>t</i> -Bu		;
726	<i>t</i> -Bu	<i>i</i> -Pr	;
727	<i>t</i> -Bu		;
728	<i>t</i> -Bu		;
729	<i>t</i> -Bu		;

Table 7 Cpd #	R ³	R _{21A}	
730	<i>t</i> -Bu		;
731	<i>t</i> -Bu		;
732	<i>t</i> -Bu		;
733	<i>t</i> -Bu		;
734	<i>t</i> -Bu		;
735	<i>t</i> -Bu		;
736	<i>t</i> -Bu	<i>t</i> -Bu	;
and 737	<i>t</i> -Bu	CHex	.

61. A compound according to claim 60, selected from the group consisting of compound #: 701, 702, 703, 704, 705, 706, 707, 708, 709, and 711 to 737.
62. A compound according to claim 45 represented by the formula:



wherein B, R³, and R₂₂ are as defined below:

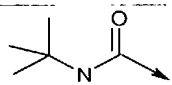
Table 8 Cpd #	B	R ³	R ₂₂	
801		<i>t</i> -Bu	--	;

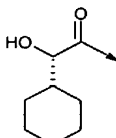
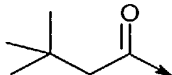
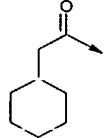
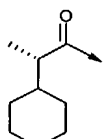
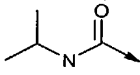
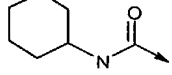
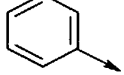
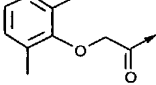
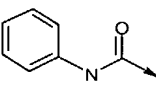
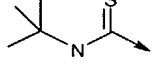
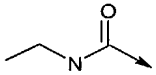
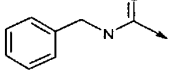
Table 8 Cpd #	B	R ³	R ₂₂	
802		<i>t</i> -Bu	--	;
803		<i>t</i> -Bu	--	;
804		<i>t</i> -Bu	--	;
805	Ac	<i>t</i> -Bu	--	;
806		<i>t</i> -Bu	--	;
807		<i>t</i> -Bu	--	;
808		<i>t</i> -Bu	--	;
809		<i>i</i> -Pr	--	;
810		<i>t</i> -Bu	--	;
811	Boc	<i>t</i> -Bu	4-Cl	;
812		<i>t</i> -Bu	--	;
813		<i>t</i> -Bu	--	;
814	Boc	<i>t</i> -Bu	2-Cl	;
815	Boc	<i>t</i> -Bu	3-Cl	;
816		<i>t</i> -Bu	--	;
817		<i>t</i> -Bu	--	;

Table 8 Cpd #	B	R ³	R ₂₂	
818		<i>t</i> -Bu	--	;
819		<i>i</i> -Pr	--	;
820		<i>i</i> -Pr	--	;
821		<i>i</i> -Pr	--	;
822		<i>i</i> -Pr	--	;
823	Boc	<i>t</i> -Bu	2-OMe	;
824	Boc	<i>t</i> -Bu	3-OMe	;
825	Boc	<i>t</i> -Bu	4-OMe	;
826		<i>i</i> -Pr	--	;
827		<i>t</i> -Bu	--	;
828		<i>i</i> -Pr	--	;
829		<i>t</i> -Bu	--	;
830		<i>t</i> -Bu	--	;
831		<i>t</i> -Bu	--	;
832		<i>t</i> -Bu	--	;
833		<i>t</i> -Bu	--	;

- 159 -

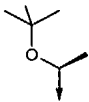
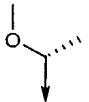
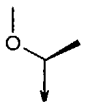
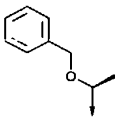
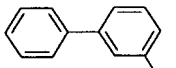
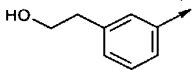
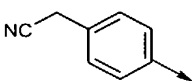
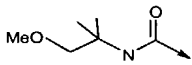
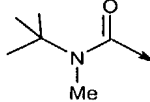
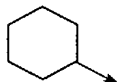
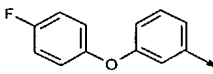
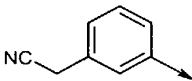
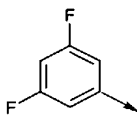
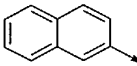
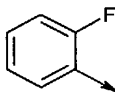
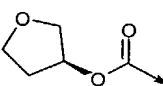
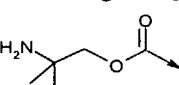
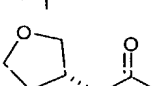
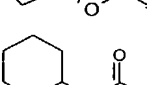
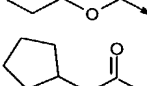
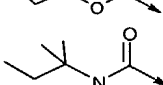
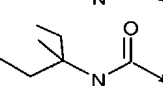
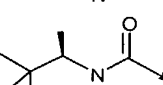
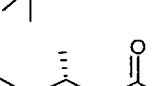
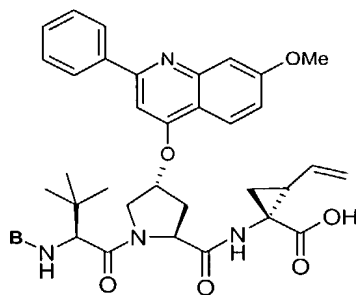
Table 8 Cpd #	B	R ³	R ₂₂	
850	Boc		--	;
851	Boc		--	;
852	Boc		--	;
853	Boc		--	;
854		<i>i</i> -Pr	--	;
855		<i>i</i> -Pr	--	;
856		<i>i</i> -Pr	--	;
857		<i>t</i> -Bu	--	;
858		<i>t</i> -Bu	--	;
859		<i>i</i> -Pr	--	;
860		<i>i</i> -Pr	--	;
861		<i>i</i> -Pr	--	;
862		<i>i</i> -Pr	--	;
863		<i>i</i> -Pr	--	;

Table 8 Cpd #	B	R ³	R ₂₂	
864		<i>i</i> -Pr	--	;
865		<i>t</i> -Bu	--	;
866		<i>t</i> -Bu	--	;
867		<i>t</i> -Bu	--	;
868		<i>t</i> -Bu	--	;
869		<i>t</i> -Bu	--	;
870		<i>t</i> -Bu	--	;
871		<i>t</i> -Bu	--	;
872		<i>t</i> -Bu	--	;
and 873		<i>t</i> -Bu	--	.

63. A compound according to claim 62, selected from the group consisting of compound #: 801 to 825, 827 to 858, and 860 to 873.
64. A compound according to claim 45 represented by the formula:



wherein **B** is as defined below:

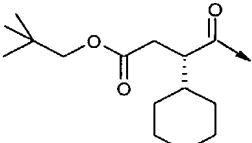
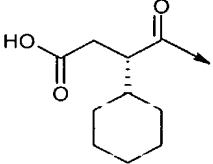
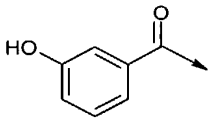
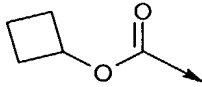
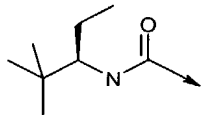
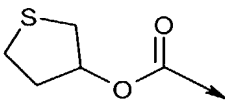
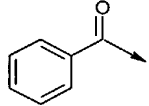
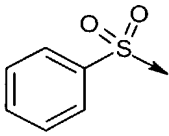
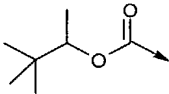
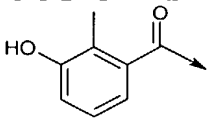
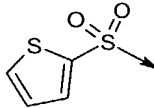
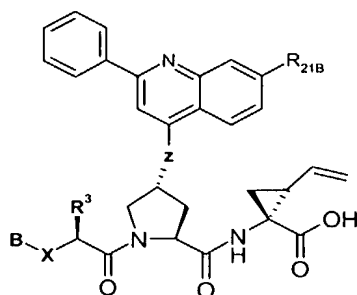
Table 9	B	
Cpd #		
901	Boc	;
902		;
903		;
904		;
905		;
906		;
907		;
908		;
909		;
910		;
911		;
912		;

Table 9 Cpd #	B	
913		;
914		;
915		;
and 916		.

65. A compound according to claim 45 represented by the formula:

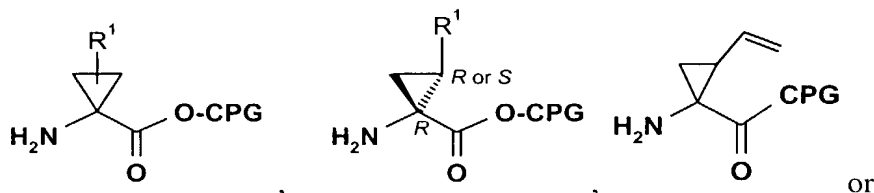


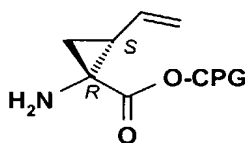
wherein **B**, **X**, **R³**, **z** and **R_{21B}** are as defined below:

Table 10 Cpd #	B-X-	R ³	Z	R _{21B}
1001	Ph-N(Me)-	<i>i</i> -Pr	O	H;
1002	Boc-NH-	<i>t</i> -Bu	S	OMe;
and 1003		<i>i</i> -Pr	O	---

66. A pharmaceutical composition comprising an anti-hepatitis C virally effective amount of a compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof, in admixture with a pharmaceutically acceptable

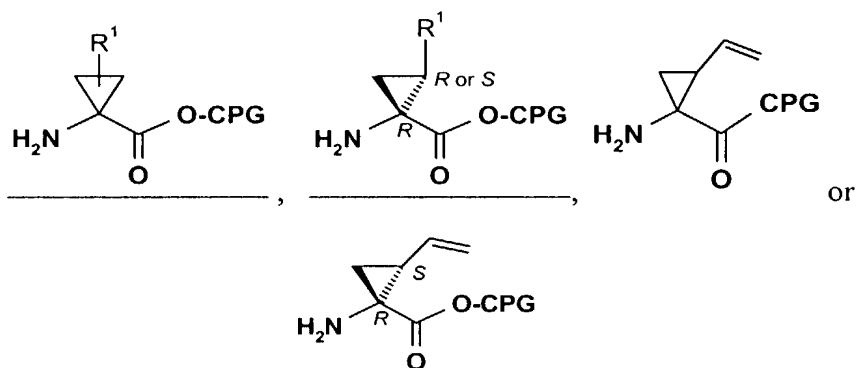
67. A method of treating a hepatitis C viral infection in a mammal comprising administering to the mammal an anti-hepatitis C virally effective amount of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof.
68. A method of treating a hepatitis C viral infection in a mammal comprising administering to the mammal an anti-hepatitis C virally effective amount of the composition according to claim 66.
69. A method of inhibiting the replication of hepatitis C virus comprising exposing the virus to a hepatitis C viral NS3 protease inhibiting amount of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof.
70. A method of treating a hepatitis C viral infection in a mammal comprising administering thereto an anti-hepatitis C virally effective amount of a combination of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof with another anti-HCV agent.
71. A method according to claim 70, wherein said other anti-HCV agent is selected from the group consisting of: α - or β -interferon, ribavirin and amantadine.
72. A method according to claim 70, wherein said other anti-HCV agent comprises an inhibitor of other targets in the HCV life cycle, selected from: helicase, polymerase, metalloprotease or IRES.
73. A process for the preparation of a peptide analog of formula (I) according to claim 1 wherein P1 is a substituted aminocyclopropyl carboxylic acid residue, comprising the step of:
coupling a peptide selected from the group consisting of: APG-P3-P2; or APG-P2; with a P1 intermediate of formula:





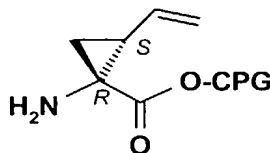
wherein R^1 is C_{1-6} alkyl, cycloalkyl or C_{2-6} alkenyl, all optionally substituted with halogen, CPG is a carboxyl protecting group and APG is an amino protecting group and P3 and P2 are as defined above.

74. A process for the preparation of: a peptide analog of formula (I) according to claim 1, this process comprising the step of:
coupling a suitably protected amino acid, peptide or peptide fragment with a P1 intermediate of formula:



wherein R^1 is C_{1-6} alkyl, cycloalkyl or C_{2-6} alkenyl, all optionally substituted with halogen, and CPG is a carboxyl protecting group.

75. A process for the preparation of: a peptide analog of formula (I) according to claim 1, this process comprising the step of:
coupling a suitably protected amino acid, peptide or peptide fragment with a P1 intermediate of formula:



wherein CPG is a carboxyl protecting group.

76. A process according to claim 73, 74 or 75 wherein said carboxyl protecting group (CPG) is selected from the group consisting of:
alkyl esters, aralkyl esters, and esters being cleavable by mild base treatment or mild reductive means.

77. Method of preparing a composition for treating a hepatitis C viral infection in a mammal comprising combining an anti-hepatitis C virally effective amount of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof, with a pharmaceutically acceptable carrier medium or auxiliary agent.

78. Method of preparing a composition for inhibiting the replication of hepatitis C virus comprising combining a hepatitis C viral NS3 protease inhibiting amount of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof, with a pharmaceutically acceptable carrier medium or auxiliary agent.

79. Method of preparing a composition for treating a hepatitis C viral infection in a mammal comprising combining an anti-hepatitis C virally effective amount of a combination of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof, and an interferon with a pharmaceutically acceptable carrier medium or auxiliary agent.